Rec'd PCT/PTO 03 JUN 2009

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau



. 10/537604

(43) International Publication Date 15 July 2004 (15.07,2004)

PCT

(10) International Publication Number WO 2004/058758 A1

- (51) International Patent Classification⁷: C07D 471/04, 487/04, 498/04, 487/16, 471/16 // (C07D 471/04, 235:00, 221:00)
- (21) International Application Number:

PCT/US2003/039951

(22) International Filing Date:

16 December 2003 (16.12.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/435,763

18 December 2002 (18.12.2002) US

- (71) Applicant (for all designated States except US): MALLINCKRODT INC. [US/US]; 675 McDonnell Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): JARVI, Esa, T. [US/US]; 1703 Warmington Court, Ballwin, MO 63021 (US). MILLER, Douglas, C. [US/US]; 439 North Hanley Road, University City, MO 63130 (US).

- (74) Agents: BOONE, Jeffrey, S. et al.; 675 McDonnell Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SYNTHESIS OF HETEROARYL ACETAMIDES

(57) Abstract: An improved process for the preparation of a heteroaryl acetamide from a heteroaryl α -hydroxyacetamide is provied. The process comprises directly hydrogenating the heteroaryl α -hydroxyacetamide in the presence of a strong acid, a halide and a catalyst. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl α -hydroxyacetamide is α -hydroxyzolpidem.